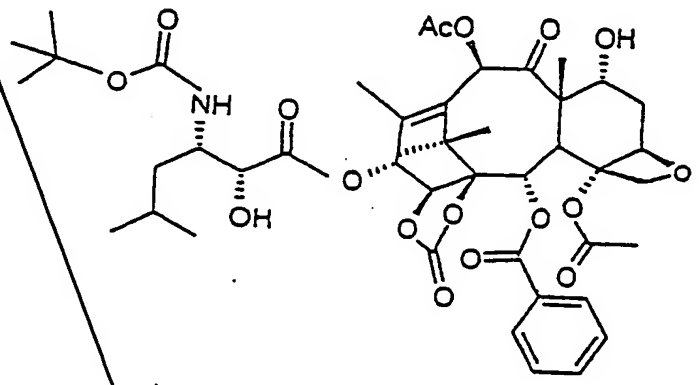
Ina6

AG ~~CLAIMS~~

1. Compound of formula (I):



(I)

2. A process for the preparation of the compound of formula (I), in which 13-(N-Boc-ß-isobutylisoserinyl)-14ß-hydroxy-baccatine III 1,14-carbonate is either treated with DBU (diazabicyclo[5,4,0] 7-undecene) in methanol or THF, or alternatively is left in solution with methylene chloride or chlorinated solvents in the presence of aliphatic alcohols selected from methanol, ethanol or propanol and with basic allumine, for a time ranging from one hour to 14 days.

3. A process for the preparation of 13-(N-Boc-ß-isobutylisoserinyl)-14ß-hydroxy-baccatine III or V 1,14-carbonate, which comprises the following steps:

a) transformation of 14ß-hydroxy-10-deacetylbaccatine III or V into the triethylsilylated derivative at the 7-position;

b) preparation of the 1,14 carbonate derivative from the product of step (a);

c) selective acetylation of the hydroxyl at 10;

d) reaction of the product of step (c) with (4S,5R)-N-Boc-2-(2,4-dimethoxyphenyl)-4-isobutyl-1-oxazolidine-5-

e) cleavage of the triethylsilyl and dimethoxybenzylidene protective groups from the product of step (d).

4. A process as claimed in claim 3, in which: the silylating agent of step (a) is triethyl chlorosilane; the 1,14 carbonate derivative in step (b) is prepared using phosgene in toluene in methylene chloride/pyridine 3:1 solution under nitrogen atmosphere; the reduction of step (c) is carried out with LiHMDS in anhydrous THF, and the resulting 10-hydroxy derivative is subsequently acetylated with acetyl chloride; the condensation reaction of step (d) is carried out in anhydrous apolar organic solvent, in the presence of a base and of the condensing agent dicyclohexylcarbodiimide (DCC); the triethylsilyl protective group in step (e) is removed with pyridinium fluoride in acetonitrile/pyridine solution under nitrogen, and the dimethoxybenzylidene protective group is removed in methylene chloride solvent by addition of HCl in methanol and subsequently of $NaHCO_3$.

5. A process for the preparation of 13-(N-Boc-ß-isobutylisoserinyl)-14ß-hydroxy-baccatine III or V 1,14-carbonate, which comprises the following steps:

a') selective acetylation of the hydroxyl at C-10 of 14ß-hydroxy-10-deacetylbaccatine III or V;

b') preparation of the 1,14 carbonate derivative from the product of step (a');

c') silylation of the hydroxyl at C-7;

d') reaction of the product of step (c) with (4S,5R)-N-Boc-2-(2,4-dimethoxyphenyl)-4-isobutyl-1-oxazolidine-5-carboxylic acid;

e') cleavage of the triethylsilyl and dimethoxybenzylidene protective groups from the product of step (d').

6. A process as claimed in claim 5, in which the selective acetylation of step (a') is carried out with scandium,

ytterbium salts, preferably $CeCl_3 \cdot 7H_2O$, and steps (b')-(e') are carried out analogously to steps (b), (a), (d) and (e) of claim 4.

7. A process for the preparation of (4S, 5R)-N-Boc-2-(2,4-dimethoxyphenyl)-4-isobutyl-1-oxazolidine-5-carboxylic acid, which comprises the following steps:

a) protection of the amino group of leucinol with Boc;

b) transformation of N-Boc-L-leucinol into N-Boc-L-leucinal;

c) preparation of the cyanhydrin of the product of step (b);

d) transformation of the cyanhydrine nitrile into the corresponding carboxylic acid;

e) formation of the carboxylic acid methyl ester;

f) purification of the (2R, 3S)-3-(N-Boc)amino-2-hydroxy-5-methylhexanoic acid methyl ester;

g) condensation of the product of step (f) with 2,4-dimethoxybenzaldehyde dimethyl acetal;

h) transformation of (4S, 5R)-N-Boc-2-(2,4-dimethoxyphenyl)-4-isobutyl-1-oxazolidine-5-carboxylic acid methyl ester into the corresponding carboxylic acid.

8. The following synthesis intermediates: 14ß-hydroxy baccatine III or V, 14ß-hydroxy baccatine III or V 1,14 carbonate, 14-ß-hydroxy-7-Tes-10-deacetylbaccatine III or V, 14-ß-hydroxy-7-Tes-baccatine ~~III or~~ V, 14-ß-hydroxy-7-Tes-baccatine III or V 1,14-carbonate, (4S,5R)-N-Boc-2-(2,4-dimethoxyphenyl)-4-isobutyl-1-oxazolidine-5-carboxylic acid.

9. Pharmaceutical compositions containing compound (I) together with pharmaceutically acceptable carriers and excipients.

10. The use of compound (I) for the preparation of a drug with anticancer activity.

AMENDED SHEET